

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
17 March 2005 (17.03.2005)

PCT

(10) International Publication Number
WO 2005/023838 A1

(51) International Patent Classification⁷: **C07K 1/06**,
C07D 207/48

(21) International Application Number:
PCT/EP2004/052094

(22) International Filing Date:
8 September 2004 (08.09.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03 10582 9 September 2003 (09.09.2003) FR

(71) Applicant (for all designated States except US): SOLVAY
(Société Anonyme) [BE/BE]; Rue du Prince Albert, 33,
B-1050 Brussels (BE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CALLENS, Roland
[BE/BE]; Kerkplein 2, Bte 2, B-1850 Grimbergen (BE).
BLONDEEL, Georges [BE/BE]; Hoezestraat, 10, B-9300
Aalst (BE). POUSSET, Cyrille [FR/BE]; Rue de Sévigné,
29, B-1070 Bruxelles (BE). GIRE, Ronan [FR/BE]; Rue
Keyenveld, 56, B-1050 Bruxelles (BE).

(74) Agents: JACQUES, Philippe et al.; Solvay (Société
Anonyme), Intellectual Property Department, Rue de
Ransbeek, 310, B-1120 Bruxelles (BE).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,
ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA,
SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following design-
ation US
- of inventorship (Rule 4.17(iv)) for US only

Published:

- with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR THE MANUFACTURE OF AN ENANTIOPURE COMPOUND

(57) Abstract: Process for the manufacture of an enantiopure compound comprising at least one functional group capable of reacting with an activated carboxyl group, starting from a mixture of enantiomers of the said compound, in which process: (a) a reaction medium comprising the mixture of enantiomers and a reagent based on an enantiopure amino acid, in which reagent at least one amino group of the amino acid is protected by a protective group and in which reagent at least one carboxyl group of the amino acid is activated, is subjected to conditions appropriate for bringing about the reaction of the functional group capable of reacting with the activated carboxyl group with the activated carboxyl group, so as to form a carbonyl bond; (b) the mixture of diastereomers obtained is subjected to a separation operation, so as to obtain at least one fraction composed essentially of a diastereomer; (c) at least a portion of the said fraction is subjected to a stage of cleavage of the carbonyl bond under conditions under which the protective group is essentially stable; and (d) the enantiopure compound and an enantiopure derivative of the amino acid in which at least one amino group is protected by the protective group are recovered.

WO 2005/023838 A1